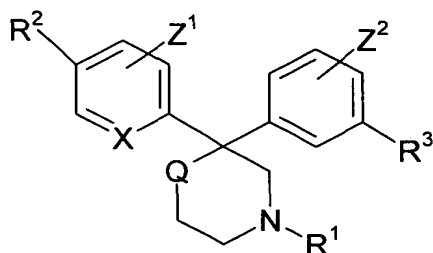


5

CLAIMS

1. A compound of the formula



I

R^1 is hydrogen, (C_0-C_8) alkoxy- (C_1-C_8) alkyl-, wherein the total number of carbon atoms is eight or less, aryl, aryl- (C_1-C_8) alkyl-, heteroaryl, heteroaryl- (C_1-C_8) alkyl-, heterocyclic, heterocyclic- (C_1-C_8) alkyl, (C_3-C_7) cycloalkyl-, or (C_3-C_7) cycloalkyl- (C_1-C_8) alkyl, wherein said aryl and the aryl moiety of said aryl- (C_1-C_8) alkyl- are selected, independently, from phenyl and naphthyl, and wherein said heteroaryl and the heteroaryl moiety of said heteroaryl- (C_1-C_8) alkyl- are selected, independently, from pyrazinyl, benzofuranyl, quinolyl, isoquinolyl, benzothienyl, isobenzofuryl, pyrazolyl, indolyl, isoindolyl, benzimidazolyl, purinyl, carbazolyl, 1,2,5-thiadiazolyl, quinazoliny, pyridazinyl, pyrazinyl, cinnoliny, phthalazinyl, quinoxaliny, xanthinyl, hypoxanthinyl, pteridinyl, 5-azacytidinyl, 5-azauracilyl, triazolopyridinyl, imidazolopyridinyl, pyrrolopyrimidinyl, pyrazolopyrimidinyl, oxazolyl, oxadiazolyl, isoxazolyl, thiazolyl, isothiazolyl, furanyl, pyrazolyl, pyrrolyl, tetrazolyl, triazolyl, thienyl, imidazolyl, pyridinyl, and pyrimidinyl; and wherein said heterocyclic and the heterocyclic moiety of said heterocyclic- (C_1-C_8) alkyl- are selected from saturated or unsaturated nonaromatic monocyclic or bicyclic ring systems, wherein said monocyclic ring systems contain from four to seven ring carbon atoms, from one to three of which may optionally be replaced with O, N or S, and wherein said bicyclic ring systems contain from seven to twelve ring carbon atoms, from one to four of which may optionally be replaced with O, N or S; and wherein any of the aryl, heteroaryl or heterocyclic moieties of R^1 may optionally be substituted with from one to three substituents, preferably with one or two substituents, independently selected from halo (i.e., chloro, fluoro, bromo or iodo), (C_1-C_6) alkyl optionally substituted with from one to seven (preferably with from zero to four) fluorine atoms, phenyl, benzyl, hydroxy, acetyl, amino, cyano, nitro, (C_1-C_6) alkoxy, (C_1-C_6) alkylamino and $[(C_1-C_6)alkyl]_2amino$, and wherein any of the alkyl moieties in R^1 (e.g., the alkyl moieties of alkyl, alkoxy or alkylamino groups) may optionally be substituted with from one to seven (preferably with from zero to four) fluorine atoms;

R^2 is hydrogen, aryl, heteroaryl, heterocyclic, SO_2R^4 , COR^4 , $CONR^5R^6$, $COOR^4$, or $C(OH)R^5R^6$ wherein each of R^4 , R^5 and R^6 is defined, independently, as R^1 is defined above, or R^5 and R^6 , together with the carbon or nitrogen to which they are both attached, form a

5 three to seven membered saturated ring containing from zero to three heterocarbons
 selected, independently, from O, N and S, and wherein said aryl, heteroaryl, and heterocyclic
 are defined as such terms are defined above in the definition of R^1 , and wherein any of the aryl,
 heteroaryl and heterocyclic moieties of R^2 may optionally be substituted with from one to three
 substituents, preferably with one or two substituents, independently selected from halo (i.e.,
 10 chloro, fluoro, bromo or iodo), (C₁-C₆)alkyl optionally substituted with from one to seven
 (preferably with from zero to four) fluorine atoms, phenyl, benzyl, hydroxy, acetyl, amino, cyano,
 nitro, (C₁-C₆)alkoxy optionally substituted with from one to seven (preferably with from zero to
 four) fluorine atoms, (C₁-C₆)alkylamino and [(C₁-C₆)alkyl]₂amino;

R^3 is hydroxy, -NHSO₂R⁷, -C(OH)R⁷R⁸, -OC(=O)R⁷, fluorine or -CONHR⁷, wherein R⁷
 15 and R⁸ are the same or different and are selected from hydrogen, (C₁-C₄)alkyl, (C₁-C₄)alkoxy
 and (C₁-C₄)alkoxy-(C₁-C₄)alkyl having a total of four or less carbon atoms, and wherein any of
 the alkyl moieties of R⁷ and R⁸ may optionally be substituted with from one to seven
 (preferably with from zero to four) fluorine atoms;

Q is oxygen or CH₂;

20 X is CH or N; and

Z¹ and Z² are selected, independently, from hydrogen, halo and (C₁-C₅)alkyl;

with the proviso that there are no two adjacent ring oxygen atoms and no ring oxygen
 atom adjacent to either a ring nitrogen atom or a ring sulfur atom in any of the heterocyclic or
 heteroaryl moieties of formula I;

25 or a pharmaceutically acceptable salt of such compound.

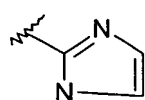
2. A compound according to claim 1 wherein Q is CH₂.
3. A compound according to claim 1 wherein X is CH.
4. A compound according to claim 1 wherein X is N.
5. A compound according to claim 1 wherein Q is oxygen.

30 6. A compound according to claim 1 wherein

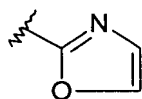
R³ is OH, CONH₂, or fluoro.

7. A compound according to claim 1 wherein

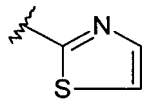
R² is selected from C(OH)(C₂H₅)₂, CONCH₃(CH₂CH₃), CON(C₂H₅)₂ and the following
 cyclic groups:



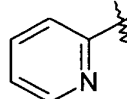
(a)



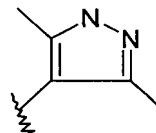
(b)



(c)

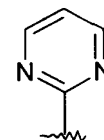


(d)



(e)

and



(f)

- 5 8. A compound according to claim 2 wherein X is CH.
 9. A compound according to claim 2 wherein X is N.
 10. A compound according to claim 6 wherein Q is CH₂ and X is CH.
 11. A compound according to claim 7 wherein Q is CH₂ and X is CH.
 12. A compound according to claim 6 wherein Q is CH₂ and X is N.
10 13. A compound according to claim 7 wherein Q is CH₂ and X is N.
 14. A pharmaceutical composition for treating a disorder or condition selected from
inflammatory diseases such as arthritis, psoriasis, asthma, or inflammatory bowel disease,
disorders of respiratory function such as asthma, cough and apnea, allergies, gastrointestinal
disorders such as gastritis, functional bowel disease, irritable bowel syndrome, functional
15 diarrhoea, functional distension, functional pain, nonulcerogenic dyspepsia and other disorders of
motility or secretion, and emesis, stroke, shock, brain edema, head trauma, spinal cord trauma,
cerebral ischemia, cerebral deficits subsequent to cardiac bypass surgery and grafting,
urogenital tract disorders such as urinary incontinence, chemical dependencies and addictions
(e.g., addictions to or dependencies on alcohol, opiates, benzodiazepines, nicotine, heroin or
20 cocaine), chronic pain, nonsomatic pain, acute pain and neurogenic pain, systemic lupus
erythematosus, Hodgkin's disease, Sjogren's disease, epilepsy and rejection in organ transplants
and skin grafts in a mammal, comprising an amount of a compound according to claim 1 that is
effective in treating such disorder or condition and a pharmaceutically acceptable carrier.
 15. A pharmaceutical composition for treating a disorder or condition, the
25 treatment or prevention of which can be effected or facilitated by modulating binding to opioid
receptors in a mammal, comprising an amount of a compound according to claim 1 that is
effective in treating such disorder or condition and a pharmaceutically acceptable carrier.
 16. A method for treating a disorder or condition selected from inflammatory
diseases such as arthritis, psoriasis, asthma, or inflammatory bowel disease, disorders of
30 respiratory function such as asthma, cough and apnea, allergies, gastrointestinal disorders such
as gastritis, functional bowel disease, irritable bowel syndrome, functional diarrhoea, functional
distension, functional pain, nonulcerogenic dyspepsia and other disorders of motility or secretion,
and emesis, stroke, shock, brain edema, head trauma, spinal cord trauma, cerebral ischemia,
cerebral deficits subsequent to cardiac bypass surgery and grafting, urogenital tract disorders
35 such as urinary incontinence, chemical dependencies and addictions (e.g., addictions to or
dependencies on alcohol, opiates, benzodiazepines, nicotine, heroin or cocaine), chronic pain,
nonsomatic pain, acute pain and neurogenic pain, systemic lupus erythematosus, Hodgkin's
disease, Sjogren's disease, epilepsy and rejection in organ transplants and skin grafts in a
mammal, comprising administering to a mammal requiring such treatment an amount of a
40 compound according to claim 1 that is effective in treating such disorder or condition.

5 17. A method for treating a disorder or condition, the treatment of which can be
 effected or facilitated by modulating binding to opioid receptors in a mammal, comprising
 administering to a mammal requiring such treatment an amount of a compound according to
 claim 1 that is effective in treating such disorder or condition.

 18. A pharmaceutical composition for treating a disorder or condition selected from
10 inflammatory diseases such as arthritis, psoriasis, asthma, or inflammatory bowel disease,
 disorders of respiratory function such as asthma, cough and apnea, allergies, gastrointestinal
 disorders such as gastritis, functional bowel disease, irritable bowel syndrome, functional
 diarrhoea, functional distension, functional pain, nonulcerogenic dyspepsia and other disorders of
 motility or secretion, and emesis, stroke, shock, brain edema, head trauma, spinal cord trauma,
15 cerebral ischemia, cerebral deficits subsequent to cardiac bypass surgery and grafting,
 urogenital tract disorders such as urinary incontinence, chemical dependencies and addictions
 (e.g., addictions to or dependencies on alcohol, opiates, benzodiazepines, nicotine, heroin or
 cocaine), chronic pain, nonsomatic pain, acute pain and neurogenic pain, systemic lupus
 erythematosus, Hodgkin's disease, Sjogren's disease, epilepsy and rejection in organ transplants
20 and skin grafts in a mammal, comprising an opioid receptor binding modulating effective amount
 of a compound according to claim 1 and a pharmaceutically acceptable carrier.

 19. A pharmaceutical composition for treating a disorder or condition, the treatment
 or prevention of which can be effected or facilitated by modulating binding to opioid receptors in a
 mammal, comprising an opioid receptor binding modulating effective amount of a compound
25 according to claim 1 and a pharmaceutically acceptable carrier.

 20. A method for treating a disorder or condition selected from inflammatory
 diseases such as arthritis, psoriasis, asthma, or inflammatory bowel disease, disorders of
 respiratory function such as asthma, cough and apnea, allergies, gastrointestinal disorders such
 as gastritis, functional bowel disease, irritable bowel syndrome, functional diarrhoea, functional
30 distension, functional pain, nonulcerogenic dyspepsia and other disorders of motility or secretion,
 and emesis, stroke, shock, brain edema, head trauma, spinal cord trauma, cerebral ischemia,
 cerebral deficits subsequent to cardiac bypass surgery and grafting, urogenital tract disorders
 such as urinary incontinence, chemical dependencies and addictions (e.g., addictions to or
 dependencies on alcohol, opiates, benzodiazepines, nicotine, heroin or cocaine), chronic pain,
35 nonsomatic pain, acute pain and neurogenic pain, systemic lupus erythematosus, Hodgkin's
 disease, Sjogren's disease, epilepsy and rejection in organ transplants and skin grafts in a
 mammal, comprising administering to a mammal requiring such treatment an opioid receptor
 binding modulating effective amount of a compound according to claim 1.

 21. A method for treating a disorder or condition, the treatment or prevention of
40 which can be effected or facilitated by modulating binding to opioid receptors in a mammal,

- 5 comprising administering to a mammal requiring such treatment an opioid receptor binding modulating effective amount of a compound according to claim 1.